PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 5 :
C07D 263/20, A61K 31/42

A1

(11) International Publication Number: WO 94/13649

(43) International Publication Date: 23 June 1994 (23.06.94)

(21) International Application Number: PCT/US93/09589

(22) International Filing Date: 14 October 1993 (14.10.93)

(30) Priority Data:

07/988,589	8 December 1992 (08.12.92)	US
08/003,778	13 January 1993 (13.01.93)	US
08/066,356	21 May 1993 (21.05.93)	US

(60) Parent Applications or Grants
(63) Related by Continuation

US	07/988,589 (CIP)
Filed on	8 December 1992 (08.12.92)
US	08/003,778 (CIP)
Filed on	13 January 1993 (13.01.93)
US	08/066,356 (CIP)
Filed on	21 May 1993 (21.05.93)

(71) Applicant *(for all designated States except US)*: THE UPJOHN COMPANY [US/US]; 301 Henrietta Street, Kalamazoo, MI 49001 (US).

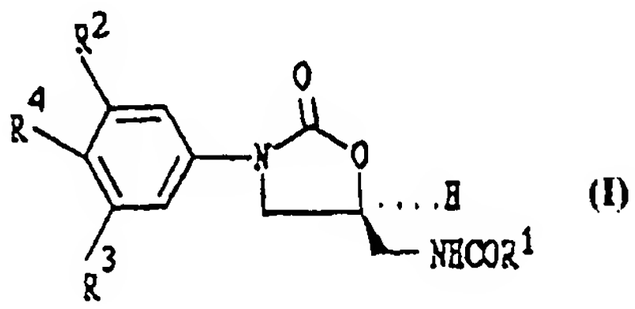
(72) Inventor; and
(75) Inventor/Applicant *(for US only)*: BARBACHYN, Michael, Robert [US/US]; 1216 Miles Avenue, Kalamazoo, MI 49001 (US).

(74) Agent: WILLIAMS, Sidney, B., Jr.; Corporate Intellectual Property Law, The Upjohn Company, 301 Henrietta Street, Kalamazoo, MI 49001 (US).

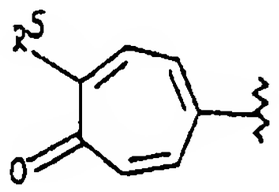
(81) Designated States: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published
With international search report.

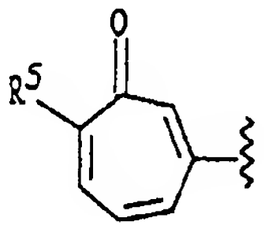
(54) Title: TROPONE-SUBSTITUTED PHENYLOXAZOLIDINONE ANTIBACTERIAL AGENTS



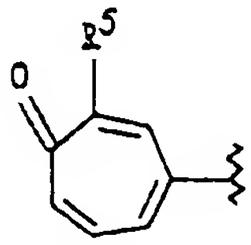
(I)



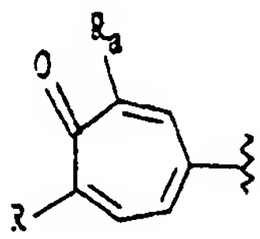
(a)



(b)



(c)



(d)

(57) Abstract

A novel class of phenyloxazolidinone antibacterial agents which have, as their salient structural feature, an appended substituted tropone moiety, are described. Intermediates and processes for the preparation of these antibiotics are also disclosed. These compounds are useful antibacterial agents to eradicate or control suceptible organisms. In formula (I), R^1, R^2 and R^3 are the same as in claim 1 and R^4 is selected from the group consisting of (a), (b), (c) and (d), wherein R and Ra are the same or different and are selected from the group consisting of (C_1-C_8) alkyl optionally substituted with chloro, fluoro, hydroxy, (C_1-C_8) alkoxy, amino, (C_1-C_8) alkylamino, (C_1-C_8) dialkylamino.